

(FILE 'HOME' ENTERED AT 13:25:39 ON 20 OCT 2000)

FILE 'REGISTRY' ENTERED AT 13:25:59 ON 20 OCT 2000

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 4 S L2
L4 573 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:37:19 ON 20 OCT 2000

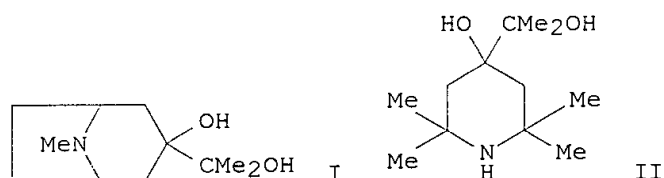
L5 1638 S L4
L6 6 S L5 AND DIMERIZATION
L7 86 S L5 AND REDUCTIVE
L8 0 S L7 AND METAL
L9 14 S L5 AND METAL
L10 0 S L9 AND REDUCING

=> s 16 and 17

L11 1 L6 AND L7

=> d fbib abs hitstr

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2000 ACS
AN 1983:125828 CAPLUS
DN 98:125828
TI Effect of the position of substituents on the photoreduction of
4-piperidones by isopropyl alcohol
AU Kostochka, L. M.; Belostotskii, A. M.; Skoldinov, A. P.
CS Nauchno-Issled. Inst. Farmakol., Moscow, USSR
SO Zh. Org. Khim. (1982), 18(12), 2623-4
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
GI



AB Photoredn. of 1-methyl- and 1-benzyl-4-piperidinone-HCl in Me2CHOH gave the corresponding alcs. in 70-5% yield. 1,2,5-Trimethyl- and 3-carbomethoxy-1-methylpiperidinone-HCl were inert under these conditions.

Tropinone and 2,2,6,6-tetramethyl-4-piperidinone-HCl were reduced to the alcs. but also gave appreciable amts. of **reductive**-addn. (I and II) and **dimerization** products. Thus, the reactivity of the piperidinones depended on whether substituents were present in the 1-, 2- or 3-position.

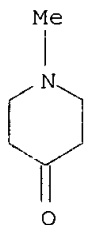
IT 34737-83-2

RL: RCT (Reactant)

(photochem. redn. of, by isopropanol)

RN 34737-83-2 CAPLUS

CN 4-Piperidinone, 1-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 13:25:39 ON 20 OCT 2000)

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L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 4 S L2

L4 573 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:37:19 ON 20 OCT 2000

L5 1638 S L4

L6 6 S L5 AND DIMERIZATION

L7 86 S L5 AND REDUCTIVE

L8 0 S L7 AND METAL

L9 14 S L5 AND METAL

L10 0 S L9 AND REDUCING

L11 1 S L6 AND L7

=> s 17 and piperidine

29433 PIPERIDINE

L12 35 L7 AND PIPERIDINE

=> s 112 not 19

L13 35 L12 NOT L9

=> s 113 not 16

L14 35 L13 NOT L6

=> s 15 and antihistamine

2787 ANTIHISTAMINE

L15 5 L5 AND ANTIHISTAMINE

=> d 1-5 fbib abs hitstr

L15 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1996:527318 CAPLUS

DN 125:167790

TI Process for manufacturing loratadine

IN Karimian, Khashayar; Lee, Sue; Iyer, Rajeshwari; Jackson, William P.

PA Apotex Inc., Can.
SO Can. Pat. Appl., 21 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2134128	AA	19960425	CA 1994-2134128	19941024
OS	CASREACT 125:167790				
GI					

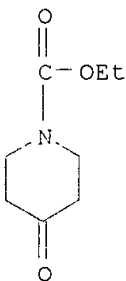
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Loratadine I, a non-sedating type **antihistamine** H1-receptor antagonist (no data), was prepd. by treatment of pyridine II with (MeO)3P in DMF followed by reaction of Wittig phosphonate III with ketone IV in the presence of NaH in THF, and intramol. cyclization of the intermediate V in the presence of Pd(OAc)2 (catalyst), K2CO3 and Bu4NCl in DMF.

IT 29976-53-2
RL: RCT (Reactant)
(process for manufg. loratadine)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1987:477656 CAPLUS

DN 107:77656

TI Heterocyclic ethers derived from 6,11-dihydrodibenzo[b,e]thiepin-11-ols and 4,9-dihydrothieno[2,3-c]-2-benzothiepin-4-ol; a new series of potential antidepressants and **antihistamine** agents

AU Polivka, Zdenek; Metys, Jan; Protiva, Miroslav

CS Res. Inst. Pharm. Biochem., Prague, 130 60/3, Czech.

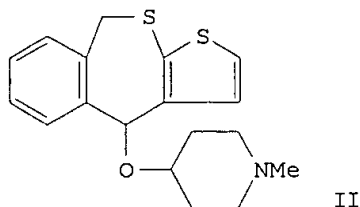
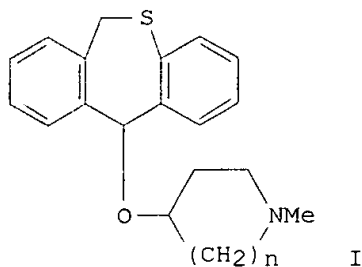
SO Collect. Czech. Chem. Commun. (1986), 51(9), 2034-49
CODEN: CCCCAK; ISSN: 0366-547X

DT Journal

LA English

OS CASREACT 107:77656

GI

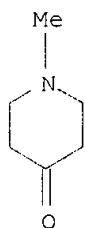


AB Reactions of 11-chloro-6,11-dihydrodibenzo[b,e]thiepin and methanesulfonates of 6,11-dihydrodibenzo[b,e]thiepin-11-ol, its 2-Me deriv., and 4,9-dihydrothienol[2,3-c]-2-benzothiepin-4-ol with 1-methylpiperidin-4-ol, 1-methylperhydroazepin-4-ol, and tropine gave the corresponding ethers, e.g., I ($n = 2, 3$) and II. Their methanesulfonates were pharmacol. tested and showed antireserpine, anticataleptic, and **antihistamine** activities of various degree. The most active compds. were I ($n = 2, 3$).

IT 1445-73-4, 1-Methyl-4-piperidone
 RL: RCT (Reactant)
 (reaction of, with diazomethane, hexahydroazepinone derivs. from)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1985:596033 CAPLUS

DN 103:196033

TI New antihistaminic N-heterocyclic 4-piperidinamines. 1. Synthesis and antihistaminic activity of N-(4-piperidinyl)-1H-benzimidazol-2-amines

AU Janssens, Frans; Torremans, Joseph; Janssen, Marcel; Stokbroekx, Raymond A.; Luyckx, Marcel; Janssen, Paul A. J.

CS N. V. Janssen Pharm., Res. Lab., Beerse, B-2340, Belg.

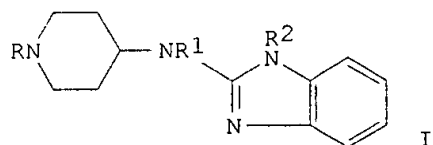
SO J. Med. Chem. (1985), 28(12), 1925-33
 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 103:196033

GI

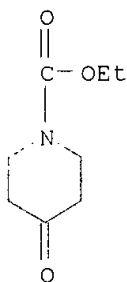


AB The synthesis of a series of N-(4-piperidinyl)-1H-benzimidazol-2-amines I [R = (un)substituted alkyl, cycloalkyl, (un)substituted CH₂CH₂Ph; R₁ = H, alkyl, cyclopropyl; R₂ = H, alkyl, (un)substituted benzyl] (87 compds.) and the preliminary evaluation of their in vitro and in vivo antihistaminic activity are described. Cyclodesulfurization of (2-aminophenyl)thioureas with HgO resulted in 2-aminobenzimidazole intermediates, which were monoalkylated on the endo-nitrogen atom. After deprotection of the piperidine nitrogen atom with aq. HBr, I were obtained by alkylation, reductive amination, or oxirane ring-opening reactions. The in vivo antihistaminic activity was evaluated by the compd. 48/80-induced lethality test in rats and the histamine-induced lethality test in guinea pigs after oral and/or s.c. administration. The duration of action, for a selected no. of compds., was studied in the guinea pig. The phenylethyl derivs. showed the most potent **antihistamine** properties after oral administration in both animal species.

IT 29976-53-2
RL: RCT (Reactant)
(reductive amidation of)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



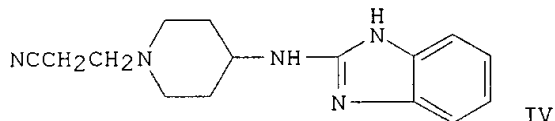
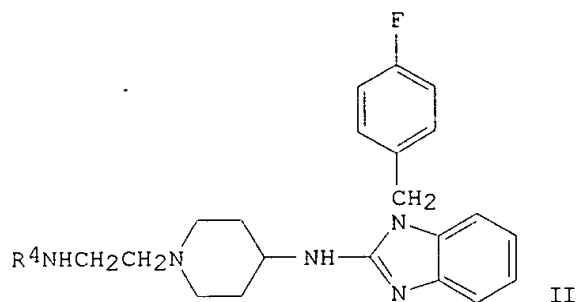
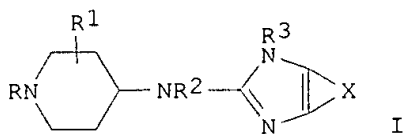
L15 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2000 ACS
AN 1984:423473 CAPLUS
DN 101:23473
TI N-(Bicyclic heterocyclyl)-4-piperidinamines
IN Janssens, Frans Eduard; Torremans, Joseph Leo Ghislanus; Hens, Jozef Francis; Van Offenwert, Theophilus Theresia J. M.
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 99139	A2	19840125	EP 1983-200832	19830608
	EP 99139	A3	19840222		
	EP 99139	B1	19870211		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1982-397626	19820712
				US 1983-487774	19830422
	US 4556660	A	19851203	US 1983-487774	19830422
				US 1982-397626	19820712
	IN 156065	A	19850504	IN 1983-CA599	19830512
				US 1982-397626	19820712
	CA 1266267	A1	19900227	CA 1983-429869	19830607

AT 25459	E	19870215
SU 1297728	A3	19870315
FI 8302521	A	19840113
FI 78480	B	19890428
FI 78480	C	19890810
DK 8303185	A	19840113
NO 8302524	A	19840113
NO 160850	B	19890227
NO 160850	C	19890607
JP 59021680	A2	19840203
HU 32108	O	19840628
HU 203550	B	19910828
AU 8316728	A1	19850117
AU 563363	B2	19870709
ZA 8305044	A	19850227
RO 87533	B3	19851031
ES 524029	A1	19851116
IL 69198	A1	19870130
PL 147092	B1	19890429
US 4760074	A	19880726
US 4820822	A	19890411
US 33833	E	19920225

US 1982-397626	19820712
US 1983-487774	19830422
AT 1983-200832	19830608
US 1982-397626	19820712
US 1983-487774	19830422
EP 1983-200832	19830608
SU 1983-3608869	19830627
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US 1983-487774	19830422
DK 1983-3185	19830711
US 1982-397626	19820712
US 1983-487774	19830422
NO 1983-2524	19830711
US 1982-397626	19820712
US 1983-487774	19830422
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US 1983-487774	19830422
AU 1983-16728	19830711
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ZA 1983-5044	19830711
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US 1982-397626	19820712
US 1985-800587	19851121
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US 1983-487774	19830422
US 1987-115272	19871102
US 1982-397626	19820712
US 1983-487774	19830422
US 1985-800587	19851121
US 1990-619558	19901129
US 1982-397626	19820712
US 1983-487774	19830422
US 1985-800587	19851121
US 1987-115272	19871102

GI



AB About 100 antihistaminic title compds. I [R = substituted piperidinyl, substituted alkyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, acyl, aralkyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N] were prepd. Thus N-piperidinylbenzimidazolamine II (R4 = 2-pyrimidinyl) (III) was prepd. from 2-chloropyrimidine and II (R4 = H), which was prepd. from N-piperidinylbenzimidazolamine IV. III had an ED50 of 0.63 mg/kg s.c. against stomach lesions induced by vasoactive amines in rats.

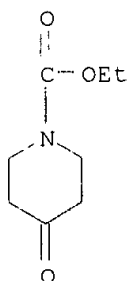
IT 29976-53-2

RL: RCT (Reactant)

(reaction of, with piperidinylbenzimidazolamine)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2000 ACS

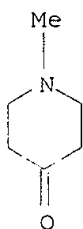
AN 1975:106184 CAPLUS

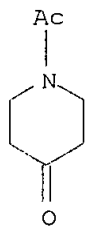
DN 82:106184

TI Benzopyranopyridine derivatives. 1. Aminoalkyl derivatives of the azaxanthenes as bronchodilating agents

AU Villani, Frank J.; Mann, Thomas A.; Wefer, Elizabeth A.; Hannon, Janet; Larca, Louis L.; Landon, Mildred J.; Spivak, William; Vashi, Dhru; Tozzi,

Salvatore; et al.
CS Dep. Med. Chem., Schering Corp., Bloomfield, N. J., USA
SO J. Med. Chem. (1975), 18(1), 1-8
CODEN: JMCMAR
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Of 17 title compds. prepd. and tested in vivo and in vitro,
5-(1-methyl-4-piperidylidene)-1-azaxanthene maleate (I maleate)
[39624-65-2] was a potent bronchodilator and a moderate antihistaminic.
I is .apprx.10 times as potent as aminophylline [317-34-0] and half as
potent as isoproterenol [7683-59-2] on oral administration in guinea
pigs.
I was prepd. from 2-phenoxy nicotinic acid [35620-71-4] by polyphosphoric
acid cyclization to the ketone, followed by reaction with
4-chloro-1-methylpiperidine [5570-77-4] and Na in liq. NH₃, and
dehydration of the resulting carbinol. Structure-activity relations are
discussed.
IT 1445-73-4
RL: RCT (Reactant)
(reaction of, with bromopyridine deriv.)
RN 1445-73-4 CAPLUS
CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)

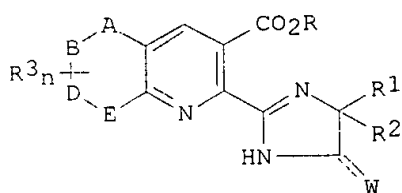




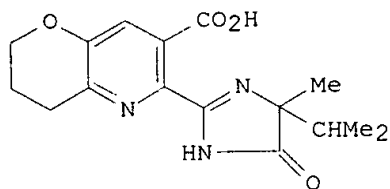
L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2000 ACS
 AN 1987:176391 CAPLUS
 DN 106:176391
 TI Pyridoheterocyclylimidazolecarboxylates as herbicides
 IN Numata, Tatsuo; Hatanaka, Masataka; Watanabe, Junichi; Ikai, Takasi;
 Nawamaki, Tsutomu; Hattori, Kenji
 PA Nissan Chemical Industries, Ltd., Japan
 SO Eur. Pat. Appl., 114 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 212200	A2	19870304	EP 1986-109344	19860708
	EP 212200	A3	19880107		
	EP 212200	B1	19930324		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
				JP 1985-164407	19850725
				JP 1986-6979	19860116
				JP 1986-120455	19860526
AU 8659819	A1	19870212	AU 1986-59819	19860707	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
US 4696694	A	19870929	US 1986-882408	19860707	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
ZA 8605169	A	19870325	ZA 1986-5169	19860710	
			JP 1985-164407	19850725	
JP 63099067	A2	19880430	JP 1986-169553	19860718	
JP 07002735	B4	19950118			
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
HU 41609	A2	19870528	HU 1986-2983	19860722	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
CN 86105501	A	19870121	CN 1986-105501	19860724	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
BR 8603518	A	19870304	BR 1986-3518	19860725	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
US 4824474	A	19890425	US 1987-69022	19870701	
			JP 1985-164407	19850725	
			JP 1986-6979	19860116	
			JP 1986-120455	19860526	
			US 1986-882408	19860707	

GI



I



II

AB The title compds. [I; R = H, (substituted) alkyl, alkenyl, alkynyl, oxacycloalkyl, glycidyl, furfuryl, cycloalkyl, alkylimino, (quaternary) ammonium ion, alkali metal ion, alk. earth metal ion; R1 = alkyl; R2 = alkyl, cycloalkyl; R1R2 = (substituted) cycloalkyl; R3 = OH, CF3, halo, (substituted) alkyl, alkoxy, alkylthio, alkoxycarbonyl, tetrahydrothiopyranyl, pyridyl, Ph; A, B, D, E = O, S, SO, SO2, imino,

CO, CH2; one of AB, BD, DE = CH:CH; n = 0-6; W = O, S] were prepd. as herbicides. Tetrahydropyran-3-one reacted with pyrrolidine to give a mixt. of 2 enamines. The mixt. condensed with EtOCH:C(CO2Et)COCO2Et,

then with NH4OAc to give a mixt. of pyridopyrandicarboxylates, which were sapond. and converted to the corresponding acids. These were amidated with H2NCMe(CHMe2)CONH2 and the resulting diamides were cyclized with aq. NaOH to give pyridopyranylimidazolecarboxylate II and its isomer. 0.16

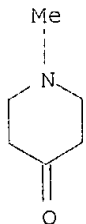
Kg II/ha postemergence completely controlled barnyardgrass and black nightshade, while soybeans were left unaffected. A conc. was prepd. contg. II 10, xylene 70, DMF 10, and Sorpol 2680 10 parts.

IT 1445-73-4

RL: RCT (Reactant)
(condensation of, with pyrrolidine, enamine by)

RN 1445-73-4 CAPLUS

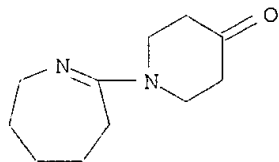
CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2000 ACS
AN 1983:127404 CAPLUS
DN 98:127404
TI Cellular polyurethane or polyurethane-urea moldings
IN Nissen, Dietmar; Hickmann, Eckhard
PA BASF A.-G., Fed. Rep. Ger.
SO Ger. Offen., 47 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI DE 3126436 A1 19830120 DE 1981-3126436 19810704
 EP 69295 A1 19830112 EP 1982-105602 19820625
 EP 69295 B1 19860521
 R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE
 AT 19888 E 19860615 DE 1981-3126436 19810704
 DE 1982-105602 19820625
 DE 1981-3126436 19810704
 EP 1982-105602 19820625
 US 4469653 A 19840904 US 1982-393052 19820628
 DE 1981-3126436 19810704
 CA 1192697 A1 19850827 CA 1982-406480 19820702
 DE 1981-3126436 19810704
 AB Cellular polyurethane or polyurethane-polyurea molded articles are prepd.
 by reaction injection molding of mixts. of org. polyisocyanates, polyols,
 and chain extenders in the presence of monocyclic amidines or their
 mixts.
 with tertiary amines and metal salts as polymn. catalysts and
 (optionally) substituted aliph. carboxylic acids, blowing agents,
 auxiliaries, and additives. Thus, reaction injection molding of a mixt.
 contg. polyethylene-polypropylene glycol triether with trimethylolpropane
 65, 3,3',5,5'-tetraisopropyl-4,4'-diaminodiphenylmethane 35, a reaction
 product of dipropylene glycol and 4,4'-diphenylmethane diisocyanate 44.6,
 hexahydro-1-(3,4,5,6-tetrahydro-7H-azepin-2-yl)-1H-azepine [34608-41-8]
 0.04, and dibutyltin dilaurate [77-58-7] 0.06 part gave a product
 [85138-81-4] having crack-free time (by Knicktest) 25 s, tensile strength
 27.5 N/mm², elongation 250%, and Shore D hardness 62.
 IT 85090-04-6
 RL: CAT (Catalyst use); USES (Uses)
 (catalysts, for reaction injection molding of polyurethane-polyureas)
 RN 85090-04-6 CAPLUS
 CN 4-Piperidinone, 1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)- (9CI) (CA INDEX
 NAME)

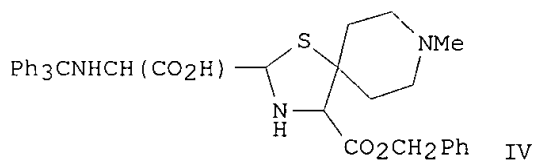
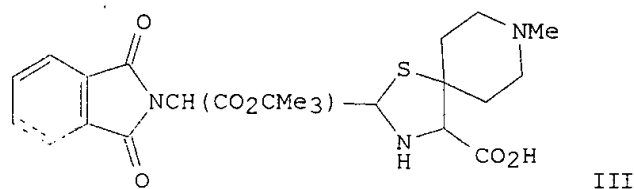
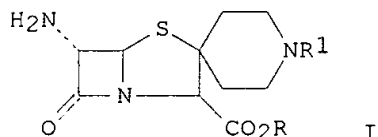


L9 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2000 ACS
 AN 1981:192320 CAPLUS
 DN 94:192320
 TI 6-Aminospiro[penam-2,4'-piperidine]-3-carboxylic acid and their salts and
 esters
 IN Rodriguez, Ludovic; Leclercq, Jacques; Ykman, Pierre; Cossement, Eric
 PA UCB S. A., Belg.
 SO Ger. Offen., 48 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3023830	A1	19810115	DE 1980-3023830	19800625
				GB 1979-22054	19790625
	GB 2052497	A	19810128	GB 1980-17714	19800530
	GB 2052497	B2	19830629		
				GB 1979-22054	19790625
	NL 8003597	A	19801230	NL 1980-3597	19800620
				GB 1979-22054	19790625

FR 2459802	A1	19810116	FR 1980-13931	19800623
FR 2459802	B1	19830422		
CA 1148145	A1	19830614	GB 1979-22054	19790625
BE 883969	A1	19801224	CA 1980-354563	19800623
JP 56007788	A2	19810127	GB 1979-22054	19790625
US 4271172	A	19810602	BE 1980-9860	19800624
SU 999975	A3	19830223	GB 1979-22054	19790625
			JP 1980-85815	19800624
			GB 1979-22054	19790625
			US 1980-162616	19800624
			GB 1979-22054	19790625
			SU 1980-2935653	19800624
			GB 1979-22054	19790625

GI

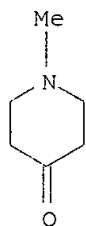


AB The title compds. I (R = H, PhCH₂, alkali metal; R₁ = Me, Ph, PhCH₂) were prepd. Thus, I (R = PhCH₂, R₁ = Me) was prepd. in 9 steps from tert-Bu 2-formyl-2-phthalimidoacetate and .alpha.-amino-4-mercapto-1-methyl-4-piperidineacetic acid-2 HCl (II) via III and cyclization of IV. II was prepd. from 1-methyl-4-piperidinone and EtO₂CCH₂NCO in 3 steps. The antibacterial activity of I (R = H, R₁ = PhCH₂, Me).p-MeC₆H₄SO₃H tested against a variety of gram-pos. and gram-neg. bacteria was tabulated.

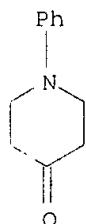
IT **1445-73-4 19125-34-9**
 RL: RCT (Reactant)
 (reaction of, with Et isocyanatoacetate)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



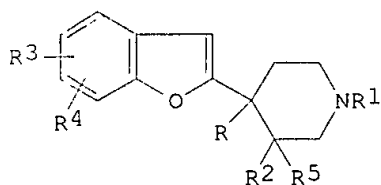
RN 19125-34-9 CAPLUS
 CN 4-Piperidinone, 1-phenyl- (9CI) (CA INDEX NAME)



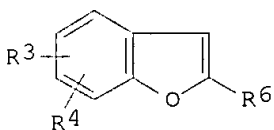
L9 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2000 ACS
 AN 1980:215281 CAPLUS
 DN 92:215281
 TI Tetrahydropyridine derivatives
 IN Schenker, Karl; Bernasconi, Raymond
 PA Ciba-Geigy A.-G., Switz.
 SO Swiss, 5 pp. Division to Swiss 605,924.
 CODEN: SWXXAS
 DT Patent
 LA German

FAN.CNT 1

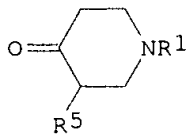
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PI	CH 613452	A	19790928	CH 1977-16296	19740208
GI					



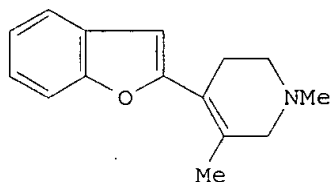
I, RR2=bond
II, R=OH, R2=H



III



IV



V

AB Tetrahydropyridines I [R1 = C1-12 aliph. or C3-12 cycloaliph. hydrocarbyl, optionally with an O interrupter, phenylalkyl (with the Ph having ltoreq.3 H substituted by Cl, Br, F, alkyl, alkoxy, OCH2O, CF3), cinnamyl optionally substituted in Ph ring; R3,R4 independently = H, alkyl, alkoxy, F, Cl, Br, R3 = 1-cycloalkenyl, C5-8 cycloalkyl, R3R4 = (CH2)n (n = 3, 4), CH:CHCH:CH2; R5 = H, Me] and their acid addn. salts, useful as antidepressants, inhibitors of monoamine oxidase, noradrenaline uptake by the heart, and serotonin uptake in middle brain synaptosomes of rats, and antagonists to tetrabenazin (no data), were prepd. by dehydration of II. Reaction of benzofuran III (R6 = alkali **metal** ion, halomagnesium) with piperidones IV gave II. Thus, BuLi in hexane was dropped into benzofuran in Et2O at -5.degree. over 30 min, the mixt. stirred 1 h at 0.degree., IV (R1 = R5 = Me) in Et2O added at 0.degree. and the mixt. stirred 15 h at room temp. to give II (R1 = R5 = Me, R3 = R4 = H). This, in AcOH-HCl was refluxed 10 h to give V, characterized as the HCl salt.

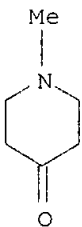
IT 1445-73-4

RL: RCT (Reactant)

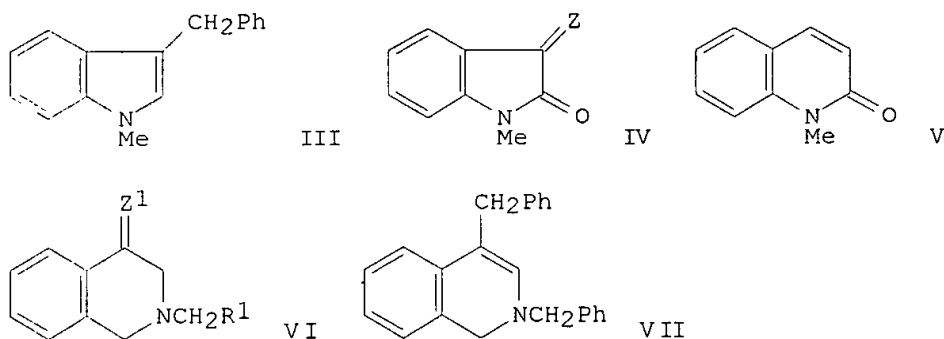
(reaction of, with butyllithium and benzofuran derivs.)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)

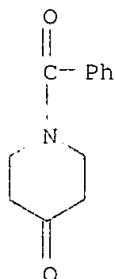


DN 84:179995
 TI Cyclization of benzyl- and phenylallylamines in presence of transition
 metal complexes (nickel or palladium)
 AU Mori, Miwako; Chiba, Katsumi; Ban, Yoshio
 CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan
 SO Hukusokan Kagaku Toronkai Koen Yoshishu, 8th (1975), 179-83 Publisher:
 Pharm. Inst., Tohoku Univ., Sendai, Japan.
 CODEN: 32KOAD
 DT Conference
 LA Japanese
 GI



AB O-ClC₆H₄NMeC(:X)CH:CHR [I, X = H₂, R = H (II)] was refluxed with
 Ni(PPh₃)₄
 in Et₂O to give 45.6% 1,3-dimethylindole whereas with EtMgBr in the
 presence of NiCl₂(PPh₃)₂ II gave, besides 54% o-ClC₆H₄NHMe, 8.6%
 1,3-dimethylindoline, presumably via o-(CH₂:CHCH₂NMe)C₆H₄NiCl(PPh₃)₂;
 similarly, cyclization of I (X = H₂, R = Ph; X = O, R = H, R = CO₂Me, R =
 Ph) in the presence of Ni(PPh₃)₄ gave the indole III, the indolinones IV
 (Z = H, Me; CH₂) and the quinolinone V, IV (Z = H, CH₂CO₂Me), and IV (Z =
 H, CH₂CO₂Me; H, CH₂Ph; CHPh), resp. Also, PhCH₂N(CH₂R₁)CH₂CH:CHR₂ (R₁ =
 Me, Ph; R₂ = H, Ph) cyclized in the presence of Pd(OAc)₂-Cu(OAc)₂ to give
 the corresponding isoquinolines (VI, Z₁ = CH₂; H, Me; R₁ = Me, Ph; VII).

IT 24686-78-0
 RL: RCT (Reactant)
 (dehydrogenation of)
 RN 24686-78-0 CAPLUS
 CN 4-Piperidinone, 1-benzoyl- (9CI) (CA INDEX NAME)



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